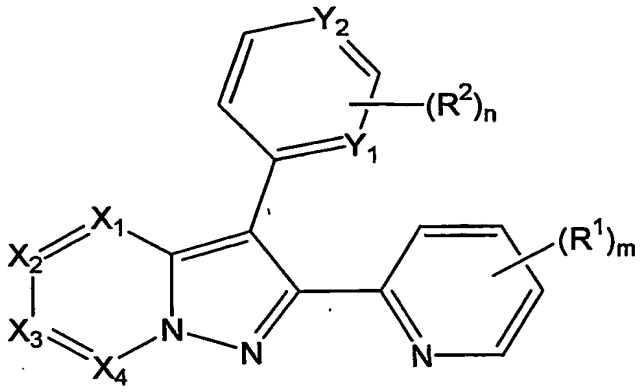
What is claimed is:

1. A compound of the following formula:



(I)

wherein

each of X_1, X_2, X_3, and X_4 is independently CR^x or N; provided that only two of X_1, X_2, X_3, and X_4 can be N simultaneously;

each of Y_1 and Y_2 is independently CR^y or N; provided that at least one of Y_1 and Y_2 must be N;

each R^1 is independently alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, or heteroaroyl;

each R^2 is independently alkyl, alkenyl, alkynyl, acyl, halo, hydroxy, $-NH_2$, -NH(alkyl), $-N(alkyl)_2$, -NH(cycloalkyl), -N(alkyl)(cycloalkyl), -NH(heterocycloalkyl), -NH(heteroaryl), -NH-alkyl-heterocycloalkyl, -NH-alkyl-heteroaryl, -NH(aralkyl), cycloalkyl, (cycloalkyl)alkyl, aryl, aralkyl, aroyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heteroaryl, heteroaralkyl, heteroaroyl, nitro, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkoxy, cycloalkyloxy, cycloalkyl-alkoxy, aryloxy, arylalkoxy, heterocycloalkyloxy, (heterocycloalkyl)alkoxy, heteroaryloxy, heteroarylalkoxy, alkylsulfanyl,

cycloalkylsulfanyl, (cycloalkyl)alkylsulfanyl, arylsulfanyl, aralkylsulfanyl, heterocycloalkylsulfanyl, (heterocycloalkyl)alkylsulfanyl, heteroarylsulfanyl, heteroarylalkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, aminosulfonyl, alkylcarbonylamino, cycloalkylcarbonylamino, (cycloalkyl)alkylcarbonylamino, arylcarbonylamino, aralkylcarbonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)alkylcarbonylamino, heteroarylcarbonylamino, heteroaralkylcarbonylamino, alkoxycarbonylaminoalkylamino, (heteroaryl)arylcarbonylaminoalkylamino, heteroaralkylcarbonylaminoalkylamino, (heteroaryl)arylsulfonylaminoalkylcarbonylaminoalkylamino, arylsulfonylaminoalkylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, or carbamoyl;

m is 0, 1, 2, 3, or 4; provided that when $m \geq 2$, two adjacent R^1 groups can join together to form a 4- to 8-membered optionally substituted cyclic moiety;

n is 0, 1, 2, or 3; provided that when $n \geq 2$, two adjacent R^2 groups can join together to form a 4- to 8-membered optionally substituted cyclic moiety; and

each of R^x and R^y is independently hydrogen, alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, cyano, guanadino, amidino, carboxy, sulfo, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, cycloalkylcarbonyl, (cycloalkyl)alkylcarbonyl, aroyl, aralkylcarbonyl, heterocycloalkylcarbonyl, (heterocycloalkyl)acyl, heteroaroyl, (heteroaryl)acyl, aminocarbonyl, alkylcarbonylamino, (amino)aminocarbonyl, alkylsulfonylaminocarbonyl, alkylsulfonylamino, cycloalkylcarbonylamino, cycloalkylsulfonylamino, (cycloalkyl)alkylcarbonylamino, (cycloalkyl)alkylsulfonylamino, arylcarbonylamino, arylsulfonylamino, aralkylcarbonylamino, aralkylsulfonylamino, (heterocycloalkyl)carbonylamino, (heterocycloalkyl)sulfonylamino, (heterocycloalkyl)alkylcarbonylamino, (heterocycloalkyl)alkylsulfonylamino, heteroarylcarbonylamino, heteroarylsulfonylamino, heteroaralkylcarbonylamino, heteroaralkylsulfonylamino, alkoxycarbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, (cycloalkyl)alkyl, (cycloalkyl)alkoxy, (cycloalkyl)alkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, (heterocycloalkyl)alkyl,

(heterocycloalkyl)alkoxy, (heterocycloalkyl)alkylsulfanyl, aryl, aryloxy, arylsulfanyl, aralkyl, aralkyloxy, aralkylsulfanyl, arylalkenyl, arylalkynyl, heteroaryl, heteroaryloxy, heteroarylsulfanyl, heteroaralkyl, (heteroaryl)alkoxy, or (heteroaryl)alkylsulfanyl;

or a pharmaceutically acceptable salt or N-oxide thereof.

2. The compound of claim 1, wherein each of X_1, X_2, X_3, and X_4 is independently CR^x.

3. The compound of claim 2, wherein each R^x is independently hydrogen, unsubstituted alkyl, hydroxyalkyl, haloalkyl, aminoalkyl, aryloxyalkyl, heteroaralkyloxyalkyl, alkoxy, halo, hydroxy, carboxy, cyano, guanadino, amidino, amino, carboxy, (heteroaryl)acyl, alkoxycarbonyl, aminocarbonyl, alkylcarbonylamino, cycloalkylcarbonylamino, heteroarylcarbonylamino, (heterocycloalkyl)alkoxy, (heteroaryl)alkoxy, (heteroaryl)alkylsulfanyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heteroaryl, or heteroaralkyl.

4. The compound of claim 2, wherein each R^x is independently hydrogen, unsubstituted alkyl, hydroxyalkyl, trifluoromethyl, alkoxy, halo, hydroxy, cyano, guanadino, amidino, $-NH_2$, -NH(unsubstituted alkyl), -NH(hydroxyalkyl), -NH(alkoxyalkyl), -NH(carboxyalkyl), $-N(\text{unsubstituted alkyl})_2$, -NH(heterocycloalkyl), -NH(heteroaryl), -NH((heterocycloalkyl)alkyl), -NH(aralkyl), -NH(heteroaralkyl), -NH-CO-alkyl, -NH-CO-heteroaryl, heterocycloalkyl, or heteroaryl.

5. The compound of claim 2, wherein each R^x is independently hydrogen.

6. The compound of claim 2, wherein each of X_2, X_3, and X_4 is independently -CH-, $-C(CH_3)-$, -C(OH)-, $-C(NH_2)-$, $-C(CO-NH_2)-$, -C(CO-NHOH)-, -C(NH(unsubstituted alkyl))-, -C(NH(aryl))-, -C(NH(aralkyl))-,

-C(NH(heteroaryl))-, -C(NH(heteroarylalkyl))-, -C(NH-CO-(unsubstituted alkyl))-,
-C(NH-CO-(aryl))-, -C(NH-CO-(heteroaryl))-, -C(NH-CO-(aralkyl))-, -C(NH-CO-(heteroarylalkyl))-, -C(NH-SO_2-(unsubstituted alkyl))-, -C(NH-SO_2-(aryl))-, -C(NH-SO_2-(heteroaryl))-, -C(NH-SO_2-(aralkyl))-, -C(NH-SO_2-(heteroarylalkyl))-, -C(NH-SO_2-NH(unsubstituted alkyl))-, -C(NH-SO_2-NH(aryl))-, -C(NH-SO_2-NH(heteroaryl))-,
-C(NH-SO_2-NH(aralkyl))-, -C(NH-SO_2-NH(heteroarylalkyl))-, -C(hydroxyalkyl)-, or
-C(carboxy)-, and X_1 is -CH-.

7. The compound of claim 2, wherein m is 0, 1, or 2.

8. The compound of claim 7, wherein each R^1 is independently unsubstituted alkyl, hydroxyalkyl, haloalkyl, aminoalkyl, aryloxyalkyl, heteroaralkyloxyalkyl, unsubstituted alkenyl, alkoxy, acyl, halo, hydroxy, carboxy, cyano, guanadino, amidino, amino, carboxy, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkoxycarbonyl, alkylcarbonyloxy, alkylsulfonyl, sulfamoyl, cycloalkyl, heterocycloalkyl, (heterocycloalkyl)alkyl, heteroaryl, or heteroaralkyl.

9. The compound of claim 7, wherein m is 1 and R^1 is 6-alkyl, 6-alkenyl, or 6-cycloalkyl.

10. The compound of claim 7, wherein both Y_1 and Y_2 are N.

11. The compound of claim 10, wherein n is 1 or 2 and each R^2 is independently unsubstituted alkyl, hydroxyalkyl, haloalkyl, aminoalkyl, aryloxyalkyl, heteroaralkyloxyalkyl, alkoxy, acyl, halo, hydroxy, carboxy, cyano, guanadino, amidino, -NH_2, monoalkylamino, dialkylamino, monocycloalkylamino, monoheterocycloalkyl-amino, monoheteroaryl-amino,

mono((heterocycloalkyl)alkyl)amino, mono(heteroaralkyl)amino, -N(alkyl)(cycloalkyl), mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, -$CONH_2$, -CONH(alkyl), -CO-$N(alkyl)_2$, -NH-CO-alkyl, -N(alkyl)-CO-alkyl, -CO_2-alkyl, -O-CO-alkyl, -SO_2-NH_2, -SO_2-NH(alkyl), -SO_2-$N(alkyl)_2$, -NH-SO_2-alkyl, -N(alkyl)-SO_2-alkyl, -NH-CO-NH(alkyl), -N(alkyl)-CO-NH(alkyl), -NH-SO_2-NH(alkyl), -N(alkyl)-SO_2-NH(alkyl), heterocycloalkyl, or heteroaryl.

12. The compound of claim 11, wherein R^2 is substituted at the 3-position and is guanadino, amidino, -NH_2, monoalkylamino, dialkylamino, monocycloalkylamino, monoheterocycloalkylamino, monoheteroarylamino, mono((heterocycloalkyl)alkyl)amino, mono(heteroaralkyl)amino, -NH-CO-NH(alkyl), -N(alkyl)-CO-NH(alkyl), -NH-SO_2-NH(alkyl), -N(alkyl)-SO_2-NH(alkyl), heterocycloalkyl, or heteroaryl.

13. The compound of claim 12, wherein m is 1 and R^1 is 6-methyl, 6-ethyl, 6-propyl, 6-trifluoromethyl, 6-vinyl, or 6-cyclopropyl.

14. The compound of claim 1, wherein m is 0, 1, or 2.

15. The compound of claim 14, wherein R^1 is substituted at the 5-position or the 6-position.

16. The compound of claim 15, wherein R^1 is C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} alkylthio, halo, amino, aminocarbonyl, or alkoxycarbonyl.

17. The compound of claim 14, wherein each R^1 is independently unsubstituted alkyl, hydroxyalkyl, haloalkyl, aminoalkyl, aryloxyalkyl, heteroaralkyloxyalkyl, unsubstituted alkenyl, alkoxy, acyl, halo, hydroxy, carboxy, cyano, guanadino, amidino, -NH_2, monoalkylamino, dialkylamino, monocycloalkylamino, monoheterocycloalkylamino, monoheteroarylamino, mono(heterocyclylalkyl)amino, mono(aralkyl)amino, mono(heteroaralkyl)amino,

-N(alkyl)(cycloalkyl), mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, -$CONH_2$, -CONH(alkyl), -CO-$N(alkyl)_2$, -NH-CO-alkyl, -N(alkyl)-CO-alkyl, -CO_2-alkyl, -O-CO-alkyl, -SO_2-NH_2, -SO_2-NH(alkyl), -SO_2-$N(alkyl)_2$, cycloalkyl, heterocycloalkyl, or heteroaryl.

18. The compound of claim 17, wherein m is 1 and R^1 is 6-methyl, 6-ethyl, 6-propyl, 6-trifluoromethyl, 6-ethyl, 6-vinyl, or 6-cyclopropyl.

19. The compound of claim 1, wherein both Y_1 and Y_2 are N.

20. The compound of claim 19, wherein n is 1 or 2 and each R^2 is independently unsubstituted alkyl, hydroxyalkyl, haloalkyl, aminoalkyl, aryloxyalkyl, heteroaralkyloxyalkyl, alkoxy, acyl, halo, hydroxy, carboxy, cyano, guanadino, amidino, -NH_2, monoalkylamino, dialkylamino, monocycloalkylamino, monoheterocycloalkylamino, monoheteroaryl-amino, mono((heterocycloalkyl)alkyl)amino, mono(heteroaralkyl)amino, -N(alkyl)(cycloalkyl), mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, -$CONH_2$, -CONH(alkyl), -CO-$N(alkyl)_2$, -NH-CO-alkyl, -N(alkyl)-CO-alkyl, -CO_2-alkyl, -O-CO-alkyl, -SO_2-NH_2, -SO_2-NH(alkyl), -SO_2-$N(alkyl)_2$, -NH-SO_2-alkyl, -N(alkyl)-SO_2-alkyl, -NH-CO-NH(alkyl), -N(alkyl)-CO-NH(alkyl), -NH-SO_2-NH(alkyl), -N(alkyl)-SO_2-NH(alkyl), heterocycloalkyl, or heteroaryl.

21. The compound of claim 20, wherein n is 1 and each R^2 is independently guanadino, amidino, -NH_2, monoalkylamino, dialkylamino, monocycloalkylamino, monoheterocycloalkylamino, monoheteroarylamino, mono((heterocycloalkyl)alkyl)amino, mono(heteroaralkyl)amino, -NH-CO-NH(alkyl), -N(alkyl)-CO-NH(alkyl), -NH-SO_2-NH(alkyl), -N(alkyl)-SO_2-NH(alkyl), heterocycloalkyl, or heteroaryl.

22. The compound of claim 21, wherein R^2 is substituted at the 3-position.

23. The compound of claim 1, wherein each of X_2, X_3, and X_4 is independently -CH-, -C(OH)-, -C(NH_2)-, -C(NH(unsubstituted alkyl))-, -C(NH(aryl))-, -C(NH(aralkyl))-, -C(NH(heteroaryl))-, -C(NH(heteroarylalkyl))-, -C(NH-CO-(unsubstituted alkyl))-, -C(NH-CO-(aryl))-, -C(NH-CO-(heteroaryl))-, -C(NH-CO-(aralkyl))-, -C(NH-CO-(heteroarylalkyl))-, -C(NH-SO_2-(unsubstituted alkyl))-, -C(NH-SO_2-(aryl))-, -C(NH-SO_2-(heteroaryl))-, -C(NH-SO_2-(aralkyl))-, -C(NH-SO_2-(heteroarylalkyl))-, -C(NH-SO_2-NH(unsubstituted alkyl))-, -C(NH-SO_2-NH(aryl))-, -C(NH-SO_2-NH(heteroaryl))-, -C(NH-SO_2-NH(aralkyl))-, -C(NH-SO_2-NH(heteroarylalkyl))-, -C(hydroxyalkyl)-, or -C(carboxy)-.

24. The compound of claim 1, wherein X_1 is -CH-.

25. The compound of claim 1, wherein X_1 is N.

26. The compound of claim 1, wherein X_2 is N.

27. The compound of claim 1, wherein X_3 is N.

28. The compound of claim 1, wherein X_4 is N.

29. The compound of claim 1, said compound being 4-(2-pyridin-2-yl-pyrazolo[1,5-a]pyridin-3-yl)-pyrimidin-2-ylamine, 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyridin-3-yl]-pyrimidin-2-ylamine, 2-(6-methyl-pyridin-2-yl)-3-(2-methylsulfanyl-pyrimidin-4-yl)-pyrazolo[1,5-a]pyridine, 4-[2-(6-chloro-pyridin-2-yl)-pyrazolo[1,5-c]pyrimidin-3-yl]-pyrimidin-2-ylamine, 2-(6-methyl-pyridin-2-yl)-3-(2-morpholin-4-yl-pyrimidin-4-yl)-pyrazolo[1,5-c]pyrimidine, 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyrazin-3-yl]-pyrimidin-2-ylamine, 4-[2-(6-

methyl-pyridin-2-yl)-pyrazolo[1,5-a]pyrimidin-3-yl]-pyrimidin-2-ylamine, 4-[2-(6-methyl-pyridin-2-yl)-pyrazolo[1,5-c]pyrimidin-3-yl]-pyrimidin-2-ylamine, or a pharmaceutically acceptable salt or N-oxide thereof.

30. A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.

31. A pharmaceutical composition comprising a compound of claim 29 and a pharmaceutically acceptable carrier.

32. A method of inhibiting the TGFβ signaling pathway in a subject, the method comprising administering to said subject with an effective amount of a compound of claim 1.

33. A method of inhibiting the TGFβ signaling pathway in a subject, the method comprising administering to said subject with an effective amount of a compound of claim 29.

34. A method of inhibiting the TGFβ type I receptor in a cell, the method comprising the step of contacting said cell with an effective amount of a compound of claim 1.

35. A method of inhibiting the TGFβ type I receptor in a cell, the method comprising the step of contacting said cell with an effective amount of a compound of claim 29.

36. A method of reducing the accumulation of excess extracellular matrix induced by TGFβ in a subject, the method comprising administering to said subject an effective amount of a compound of claim 1.

37. A method of reducing the accumulation of excess extracellular matrix induced by TGFβ in a subject, the method comprising administering to said subject an effective amount of a compound of claim 29.

38. A method of treating or preventing fibrotic condition in a subject, the method comprising administering to said subject an effective amount of a compound of claim 1.

39. A method of treating or preventing fibrotic condition in a subject, the method comprising administering to said subject an effective amount of a compound of claim 29.

40. The method of claim 38 or 39, wherein the fibrotic condition is selected from the group consisting of scleroderma, lupus nephritis, connective tissue disease, wound healing, surgical scarring, spinal cord injury, CNS scarring, acute lung injury, idiopathic pulmonary fibrosis, chronic obstructive pulmonary disease, adult respiratory distress syndrome, acute lung injury, drug-induced lung injury, glomerulonephritis, diabetic nephropathy, hypertension-induced nephropathy, hepatic or biliary fibrosis, liver cirrhosis, primary biliary cirrhosis, fatty liver disease, primary sclerosing cholangitis, restenosis, cardiac fibrosis, opthalmic scarring, fibrosclerosis, fibrotic cancers, fibroids, fibroma, fibroadenomas, fibrosarcomas, transplant arteriopathy, and keloid.

41. A method of inhibiting metastasis of tumor cells in a subject, the method comprising administering to said subject an effective amount of a compound of claim 1.

42. A method of inhibiting metastasis of tumor cells in a subject, the method comprising administering to said subject an effective amount of a compound of claim 29.

43. A method of treating a disease or disorder mediated by an overexpression of TGFβ, the method comprising administering to a subject in need of such treatment an effective amount of a compound of claim 1.

44. A method of treating a disease or disorder mediated by an overexpression of TGFβ, the method comprising administering to a subject in need of such treatment an effective amount of a compound of claim 29.

45. The method of claim 43 or claim 44, said disease or disorder being selected from the group consisting of demyelination of neurons in multiple sclerosis, Alzheimer's disease, cerebral angiopathy, squamous cell carcinomas, multiple myeloma, melanoma, glioma, glioblastomas, leukemia, and carcinomas of the lung, breast, ovary, cervix, liver, biliary tract, gastrointestinal tract, pancreas, prostate, and head and neck.